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FORMULATION AND EVALUATION OF FAST DISSOLVING TABLETS OF ZAFIRLUKAST

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ABSTRACT

Novel drug delivery system and formulation research are oriented towards increasing safety and efficacy of existing drug molecule through novel concepts of drug delivery. Zafirlukast was formulated as an fast dissolving tablet as there is a need to develop a formulation for this drug which overcomes problem such as difficulty in swallowing, inconvenience in administration while traveling and better compliance. Zafirlukast Fast dissolving tablets were prepared by coprocessed method and different concentration of coprocessed super disintegrants like Polyplasdone XL and Solutab. A total of 10 formulations were prepared and evaluated for various pre and post compression parameters like angle of repose, bulk density, tapped density, carr's index, hausner's ratio, weight variation, hardness, friability, thickness, drug content, *in vitro* disintegration time, *in vitro* drug release. FTIR studies showed good compatibility between drug and excipients. Among all the ten formulations F10 containing 40 mg of CP5 (Polyplasdone XL: Solutab 2:1) showed maximum drug release in within 15 mins due to less disintegration time.

Keywords: *Zafirlukast, Co processed super disintegrants, Fast dissolving tablets, in vitro drug release.*

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INTRODUCTION

The oral route retains the choice of route for administration of therapeutic agents in spite of enormous innovations in drug delivery, because of accurate dosage, low cost therapy, self medication, non invasive method and ease of administration leading to high level of patient compliance. As a result of underdeveloped muscular and nervous control, pediatric patients may suffer from ingestion problems. Moreover, patients traveling with little or no access to water, limit utility of orally administered conventional tablets or capsules [1-3]. A large variety of pharmaceutical research is pointed at developing new dosage forms. Most of these efforts have concentrated on either formulating novel drug delivery systems or increasing the patient compliance. Fast dissolving tablet (FDT) is the most preferred commercial products. Drug delivery through oral route is the most wanted and accepted way of application by the patients [1]. The most famous dosage form being tablets and capsules, one important disadvantage of these dosage forms is the difficulty to swallow. Fast dissolving tablet have main advantages that there is no requirement of water for administration, rapid onset of action, reduce risk of suffocation, avoid hepatic first pass metabolism [4]. Zafirlukast is an oral leukotriene receptor antagonist (LTRA) for the maintenance treatment of asthma, often used in conjunction with an inhaled steroid and/or long-acting bronchodilator. It is available as a tablet and is usually dosed twice daily. The present study was aimed to formulate and evaluate the Fast Dissolving tablets of Zafirlukast using coprocessed method.

MATERIALS AND METHODS

Zafirlukast was purchased from Suralabs, Microcrystalline cellulose was procured from Signet Chemical Corporation, Mumbai, India, Polyplasdone XL, Solutab, Magnesium stearate, Talc were procured from Merck Specialities Pvt Ltd, Mumbai, India.

METHODOLOGY

Preformulation studies

Preformulation studies on the obtained sample of drug include color, taste, solubility analysis, melting point determination and compatibility studies and flow properties [5].

Analytical method development

i. Determination of absorption maximum (λ_{max})

Absorption maximum is the wavelength at which maximum absorption takes place. For accurate analytical work, it is important to determine the absorption maxima of the substance. Accurately weighed 10 mg of Zafilukast and transferred to 10 ml volumetric flask, dissolved in 10ml methanol and the final volume was made up to 10 ml to get a stock solution (1000 µg/ml). From the stock solution, 1 ml was pipette out in 10 ml volumetric flask and the final volume was made up to 10 ml with pH 6.8 phosphahte buffer to get 100µg/ml (stock solution 2). From the stock solution 2, 1 ml was pipette out in 10 ml volumetric flask and the final volume was made up to 10 ml with pH 6.8 phosphate buffer to get 10µg/ml. Then this solution was scanned at 200-400nm in UV-Visible double beam spectrophotometer (UV-3200, Lab India, India) to get the absorption maximum (λ_{max}) [6].

ii. Calibration curve of Zafilukast

Accurately weighed 10 mg of Zafilukast and transferred to 10 ml volumetric flask, dissolved in 10ml methanol and the final volume was made up to 10 ml to get a stock solution (1000 µg/ml). From the stock solution, 1 ml was pipette out in 10 ml volumetric flask and the final volume was made up to 10 ml with pH 6.8 phosphate buffer to get 100µg/ml (stock solution 2). From this stock solution aliquots of 0.5, 1, 1.5, 2 and 2.5 ml were pipette out in 10ml volumetric flask and the volume was made up to the mark with PH 6.8 buffer to produce [7].

iii. Drug- Excipient compatibility studies by FT-IR

The compatibility between the pure drug and excipients was detected by FTIR spectra obtained on Bruker FTIR Germany(Alpha T).The solid powder sample directly place on yellow crystal which was made up of ZnSe. The spectra were recorded over the wave number of 4000 to 400cm^{-1} [8].

Formulation development of fast dissolving tablets by Coprocessed method

Co processed super disintegrates were prepared by using polyplasdone XL and Solutab. Weighed required amount of the super disintegrates were mixed then add methanol to obtained slurry, keep a side for evaporation to get a dried powder and sieve it and labeled as CP1, CP2, CP3, CP4, CP5. The coprocessed blend was used for preparing formulations of fast dissolving tablets.

Table 1. Composition of co processed super disintegrates

Ingredients	CP1	CP2	CP3	CP4	CP5
Polyplastadone XL (mg)	100	100	100	50	200
Solutab(mg)	50	100	200	100	100

CP = Coprocessed super disintegrate

Preparation of tablets

Composition of Zafilukast Fast dissolving Tablet by direct compression is shown in table 2. All the ingredients were weighed. Required quantity of drug and excipient mixed thoroughly in a poly bag. The blend is compressed using rotary tablet machine-10 station with 8mm flat punch, B tooling. Each tablet contains 75 mg Zafilukast and other pharmaceutical ingredients.

Table 2. Composition of fast dissolving tablet

Ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10
Zafilukast (mg)	25	25	25	25	25	25	25	25	25	25
CP 1(mg)	20	-	-	-	-	40	-	-	-	-
CP 2(mg)	-	20	-	-	-	-	40	-	-	-
CP 3 (mg)	-	-	20	-	-	-	-	40	-	-
CP 4 (mg)	-	-	-	20	-	-	-	-	40	-
CP 5(mg)	-	-	-	-	20	-	-	-	-	40
Mg Stearate (mg)	2	2	2	2	2	2	2	2	2	2
Talc (mg)	2	2	2	2	2	2	2	2	2	2
MCC (mg)	Qs	Qs	Qs	Qs	Qs	Qs	Qs	Qs	Qs	Qs
Total wt (mg)	200	200	200	200	200	200	200	200	200	200

Evaluation Parameters

1. Pre compression parameters

Parameters like Angle of Repose, Bulk Density, Tapped density, Carr's index, Hausner's ratio were evaluated by following standard procedures [9].

2. Post compression parameters

i. Shape and colour

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The tablets were examined under a lens for the shape of the tablet and colour by keeping the tablets in light [10].

ii. Uniformity of thickness

Randomly 10 tablets were taken from formulation batch and their thickness (mm) was measured using a Digital micrometer [10].

iii. Hardness test

The hardness of the tablets was determined using Monsanto hardness tester. It is expressed in Kg/cm2. Six tablets were randomly picked from each formulation [11].

iv. Friability test

It is the phenomenon whereby tablet surfaces are damaged and/or show evidence of lamination or breakage when subjected to mechanical shock or attrition. The friability of tablets was determined by using Roche friabilator (Lab India, FT 1020). It is expressed in percentage (%). Ten tablets were initially weighed [W (initial)] and transferred into friabilator. The friabilator was operated at 25 rpm for 4 min. The tablets were weighed again [W (final)] [11].

v. Weight variation test

The tablets were selected randomly from each formulation and weighed individually to check for weight variation. The U.S Pharmacopoeia allows a little variation in the weight of a tablet [12].

v. Drug Content estimation

The content uniformity test is used to ensure that every tablet contains the amount of drug substance intended with little variation among tablets within a batch. Ten tablets were finely powdered quantities of the powder equivalent to one tablet weight of Zafilukast were accurately weighed, transferred to a 100 ml volumetric flask containing 50 ml pH 6.8 buffer and were allowed to stand to ensure complete solubility of the drug. The mixture was made up to volume with water. The solution was suitably diluted and the absorption was determined by UV –Visible spectrophotometer. The drug concentration was calculated from the calibration curve [13].

vi. In -vitro dissolution studies

In-vitro release studies were carried out using a dissolution test apparatus (Lab India, DS-8000). The dissolution fluid was 900ml of pH 6.8 phosphate buffer, a speed of 50rpm at a temperature of 37^{0}C were used in each test. Samples of dissolution medium (5ml) were withdrawn for every 5min and assayed for Zafilukast by measuring absorbance at 238 nm. For all the tests 5ml of the test medium were collected at specified time intervals and replaced with same volume of pH 6.8 phosphate buffer [14,15].

RESULTS AND DISCUSSION

i. Standard Calibration curve of Zafirlukast

It was found that the estimation of Zafirlukast by UV spectrophotometric method at λmax 238 nm in pH 6.8 phosphate buffer had good reproducibility and this method was used in the study. The correlation coefficient for the standard curve was found to be closer to 1, at the concentration range, 5- 25µg/ml.

Table 3. Concentration and absorbance obtained for calibration curve of Zafirlukast in pH 6.8 phosphate buffer

S. No.	Concentration (µg/ml)	Absorbance (at 238 nm)
0	0	0
1	5	0.107
2	10	0.212
3	15	0.322
4	20	0.414
5	25	0.510

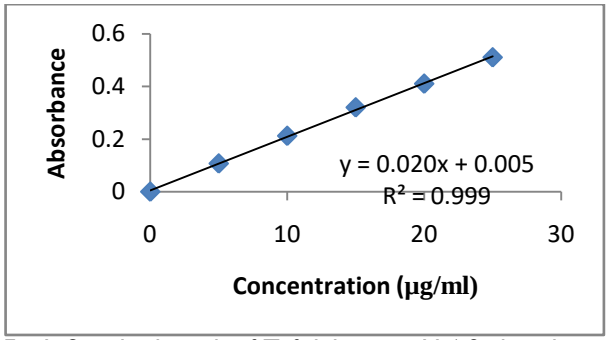

Fig 1. Standard graph of Zafirlukast in pH 6.8 phosphate buffer

ii. Drug and excipient compatability studies

From the FTIR data it was evident that the drug and super disintegrates, other excipients doses not have any interactions**.** Hence they were compatible.

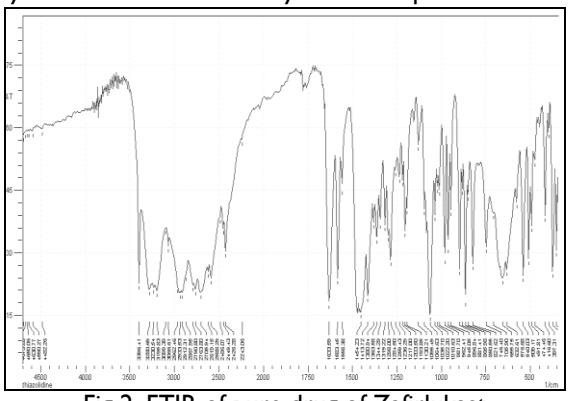

Fig 2. FTIR of pure drug of Zafirlukast

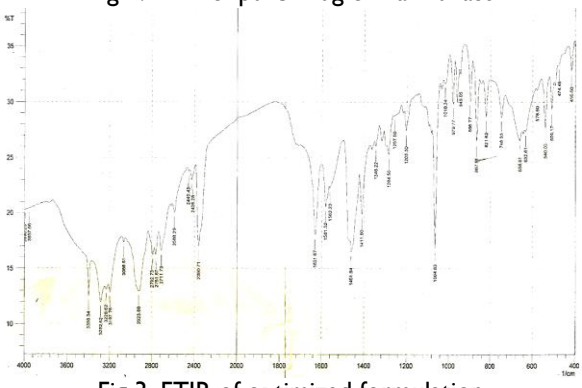

Fig 3. FTIR of optimized formulation

Evaluation Parameters for Fast dissolving Tablets of Zafirlukast

1. Pre-compression parameters

The values for angle of repose were found in the range of 25°-30°. Bulk densities and tapped densities of various formulations were found to be in the range of 0.45 ± 0.17 to 0.50 ± 0.31 (gm/cc) and 0.52± 0.13 to 0.59 ± 0.15 (gm/cc) respectively. Carr's index of the prepared blends was fall in the range of 13.20 ± 0.25 to 16.36 ± 0.11. The Hausners ratio was fall in range of 1.10 ± 0.04 to 1.19 ± 0.01. From the result it was concluded that the powder blends had good flow properties and these can be used for tablet manufacture.

Table 4. Pre compression parameters of formulation blend

Formulation code	Angle of repose	Bulk density	Tapped density	Cars index	Hausners ratio
F1	25.91 ± 0.23	0.45 ± 0.01	0.53 ± 0.05	15.04 ± 0.02	1.17 ± 0.01
F2	27.23 ± 0.41	0.47 ± 0.02	0.55 ± 0.01	14.54 ± 0.03	1.17 ± 0.02
F3	26.34 ± 0.16	0.50 ± 0.03	0.58 ± 0.06	13.79 ± 0.01	1.16 ± 0.02
F4	28.71 ± 0.63	0.46 ± 0.05	0.55 ± 0.01	16.36 ± 0.01	1.19 ± 0.01
F5	29.34 ± 0.21	0.50 ± 0.01	0.58 ± 0.02	13.79 ± 0.02	1.16 ± 0.03
F6	27.23 ± 0.09	0.47 ± 0.04	0.55 ± 0.02	14.54 ± 0.01	1.17 ± 0.01
F7	28.34 ± 0.11	0.50 ± 0.01	0.59 ± 0.01	15.25 ± 0.02	1.18 ± 0.01
F8	27.78 ± 0.21	0.46 ± 0.03	0.53 ± 0.03	13.20 ± 0.06	1.15 ± 0.03
F9	29.78 ± 0.35	0.47 ± 0.05	0.52± 0.01	14.89 ± 0.04	1.10 ± 0.04
F10	26.71 ± 0.63	0.46 ± 0.05	0.55 ± 0.01	16.36 ± 0.03	1.19 ± 0.01

2. Post compression Parameters

i. Weight variation test

Tablets of each batch were subjected to weight variation test, difference in weight and percent deviation was calculated for each tablet and was shown in the Table 5. The average weight of the tablet is approximately in range of 198.5 ± 0.41 to 202.7 ± 0.44, so the permissible limit is ±7.5% (80-250mg). The results of the test showed that, the tablet weights were within the pharmacopoeia limit.

ii. Hardness test

Hardness of the three tablets of each batch was checked by using Monsanto hardness tester and the data's were shown in Table 5. The results showed that the hardness of the tablets is in range of 2.4 ± 0.03 to 2.6 ± 0.01 kg/cm^2, which was within IP limits.

iii. Thickness

Thickness of three tablets of each batch was checked by using Vernier Caliper and data shown in Table 5. The result showed that thickness of the tablet is raging from 3.90 ± 0.01 to 4.2 ± 0.04.

iv. Friability

Tablets of each batch were evaluated for percentage friability and the data's were shown in the Table 5. The average friability of all the formulations lies in the range of 0.55 ± 0.05 to 0.64 ± 0.04 which was less than 1% as per official requirement of IP indicating a good mechanical resistance of tablets.

***v. In vitro* disintegration time**

Tablets of each batch were evaluated for in vitro disintegration time and the data's were shown in the Table 5. The results showed that the disintegration time of prepared tablets were in the range of 13.15 ± 0.02 to 27.55 ± 0.06 seconds.

vi. Drug content

Assay studies were performed for the prepared formulations. From the assay studies it was concluded that all the formulations were showing the % drug content values within 98.16 ± 0.16 -101.16 ± 0.84 %.

Table 5. Post compression parameters for Fast dissolving tablets of Zafirlukast

Formulation code	Weight variation (mg)	Thickness (mm)	Hardness (kg/cm^2)	Friability (%)	*In-vitro* disintegration time (sec)	Drug Content (%)
F1	200.01 ± 0.12	4.09 ± 0.01	2.5 ± 0.02	0.63 ± 0.02	22.33 ± 0.05	99.23 ± 0.09
F2	199.32 ± 0.08	4.1 ± 0.03	2.4 ± 0.04	0.58 ± 0.01	27.55 ± 0.06	99.55 ± 0.12
F3	200.4 ± 0.21	4.09 ± 0.02	2.5 ± 0.03	0.59 ± 0.04	20.33 ± 0.07	100.16 ± 0.082
F4	200.1 ± 0.29	4.2 ± 0.04	2.6 ± 0.01	0.63 ± 0.03	24.00 ± 0.04	99.34 ± 0.11
F5	199.4 ± 0.34	3.90 ± 0.01	2.5 ± 0.01	0.59 ± 0.04	17.33 ± 0.06	98.16 ± 0.16
F6	198.5 ± 0.41	3.94 ± 0.03	2.4 ± 0.02	0.64 ± 0.02	15.26 ± 0.04	99.55 ± 0.098
F7	199.6 ± 0.36	4.09 ± 0..01	2.5 ± 0.02	0.55 ± 0.05	21.33 ± 0.03	101.16 ± 0.84
F8	200.7 ± 0.44	3.96 ± 0.02	2.4 ± 0.03	0.64 ± 0.04	16.00 ± 0.08	99.25 ± 0.11

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F9	199.9 ± 0.37	4.1 ± 0.02	2.5 ± 0.01	0.64 ± 0.03	14.00 ± 0.07	98.57 ± 0.154
F10	202.7 ± 0.44	3.99 ± 0.02	2.5 ± 0.02	0.61 ± 0.05	13.15 ± 0.02	99.55 ± 0.11

***vii. In vitro* Dissolution studies**

In vitro dissolution studies were carried out by using 900ml of pH 6.8 phosphate buffer in USP dissolution apparatus by using paddle method. The dissolution studies were carried out for about 30 min. The dissolution data for all the formulations were given in the Table 6.

Table 6. *In vitro* dissolution data

Time (Min)	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10
0	0	0	0	0	0	0	0	0	0	0
5	24.45	19.07	17.8	25.3	43.5	34.91	33.16	45.23	49.48	50.63
10	38.97	23.75	24.72	47.6	66.3	46.45	41.03	61.57	69.33	73.41
15	41.28	40.46	33.33	56.3	75.2	59.23	53.15	73.61	80.56	99.46
20	51.53	50.25	42.58	67.3	89.8	72.34	66.28	89.21	99.31	
30	72.04	67.1	52.05	80.3	99.46	85.73	79.72	99.46		
45	87.1	79.3	69.47	95.1		99.47	87.43			
60	98.6	90.34	82.34	95.7			99.75			

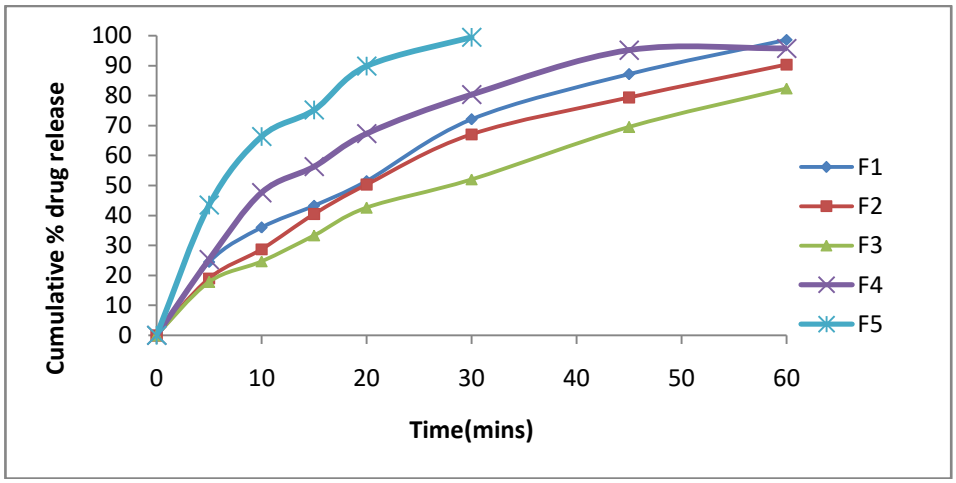

Fig 4. Dissolution profile of formulations prepared with 20mg of coprocessed blend

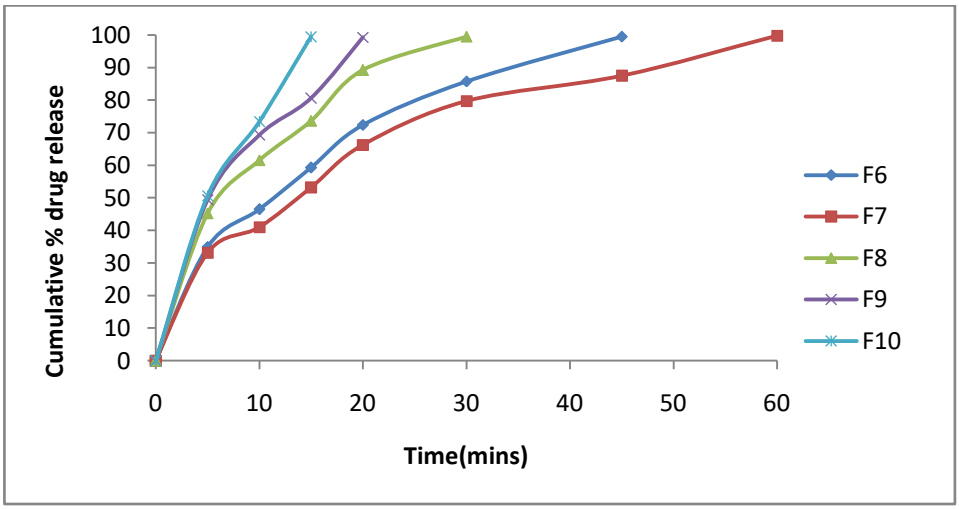

Fig 5. Dissolution profile of formulations prepared with 40 mg of coprocessed blend

From table 6 it was evident that the formulations prepared with different concentration of coprocessed super disintegrate CP1, CP2, CP3, CP4 and CP5. Formulation F1-F5 was containing 20mg of Coprocessed blend (CP1 to CP5). Among five formulations F5 was showed maximum % drug release in 30 min i.e. 99.46 ± 1.58%. Formulation F6-F10 containing 40 mg of coprocessed blend (CP1 to CP5) in that F10 formulation containing 40mg of CP5 showed maximum % drug release in 15 mins i.e 99.46 ± 1.47%. Formulation F7-F9 was containing different concentrations of CP3 in that F9 containing 7.5mg of CP3 showed maximum % drug release in 15 mins i.e 98.56%. Among all the ten formulations F10 containing 40 mg of CP5 (Polyplasdone XL: Solutab 2:1) showed maximum drug release in within 15 mins due to less disintegration time. Hence it is considered as optimised formulation.

CONCLUSION

The present study was carried out on Zafirlukast Fast dissolving tablets using coprocessed method. In this study coprocessd agents were Polyplasdone XL and Solutab and remaining excipients Magnisium stearate, Talc and Micro crystalline cellulose were also used. Fast dissolving tablets of Zafirlukast formulated using coprocessed super disintegrant Polyplasdone XL and Solutab study concluded that all the formulations were shown good pre compression and post compression parameters. Among all formulations F10 formulation shown optimum drug release which was enclosed with polyplasdone XL and Solutab (CP5 2:1). It is thus concluded that by adopting a logical formulation approach, an optimum point can be reached in the shortest time with minimum efforts.

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CONFLICT OF INTEREST

The authors declare no conflict of interest.

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